

FILE 'REGISTRY' ENTERED AT 16:15:00 ON 09 SEP 2008

L1               STRUCTURE UPLOADED

L2               0 S L1

L3               2 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 16:16:24 ON 09 SEP 2008

L4               6 S L3

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STRUCTURE FILE UPDATES: 8 SEP 2008 HIGHEST RN 1047724-15-1  
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chain nodes :  
1 2 3 4 5 6 7 8 9 10 11 12 13  
chain bonds :  
1-2 2-3 2-10 2-13 3-4 4-5 5-6 5-7 5-9 7-8 10-11 10-12  
exact/norm bonds :  
2-10 2-13 5-7 5-9  
exact bonds :  
1-2 2-3 3-4 4-5 5-6 7-8 10-11 10-12

Match level :  
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS  
10:CLASS 11:CLASS 12:CLASS 13:CLASS  
Generic attributes :  
13:  
Saturation : Saturated

Element Count :  
Node 13: Limited  
C, C1-8

L1 STRUCTURE UPLOADED

=> s l1

SAMPLE SEARCH INITIATED 16:15:31 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 12 TO ITERATE

100.0% PROCESSED 12 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 33 TO 447

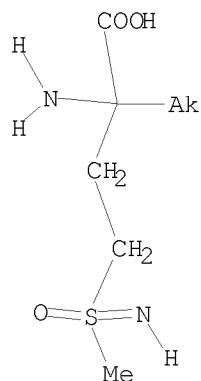
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 16:16:11 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 169 TO ITERATE

100.0% PROCESSED 169 ITERATIONS

2 ANSWERS

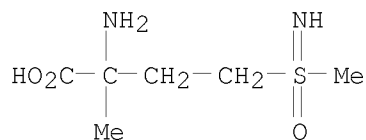
SEARCH TIME: 00.00.01

L3 2 SEA SSS FUL L1

=> d l3 scan

L3 2 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

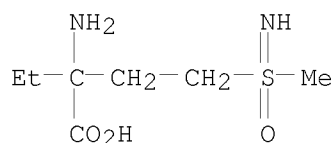
IN Isovaline, 4-(S-methylsulfonimidoyl)- (9CI)  
MF C6 H14 N2 O3 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 2 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN Butanoic acid, 2-amino-2-ethyl-4-(S-methylsulfonimidoyl)- (9CI)  
MF C7 H16 N2 O3 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED

=> file caplus  
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FILE COVERS 1907 - 9 Sep 2008 VOL 149 ISS 11  
FILE LAST UPDATED: 8 Sep 2008 (20080908/ED)

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=> s l3

L4                    6 L3

=> d l4 1-6 ti bs bib

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                  its structure diagram  
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MAX ----- ALL, plus Patent FAM, RE  
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 specification.

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 to view a specified Accession Number.  
 ENTER DISPLAY FORMAT (BIB):ti abs bib

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN  
 TI Anti-microbial agents derived from methionine sulfoximine analogues and  
 use for treating mycobacterial infections  
 AB Novel antimicrobial compns. containing analogs of L-methionine-SR-sulfoximine  
 (MSO) that are effective in treating intracellular pathogen infections are  
 provided. Specifically, the compns. provided are MSO analogs having  
 superior antimicrobial activity with significantly less toxicity as  
 compared to MSO. These MSO analogs are suitable for use in treating  
 infection in animals including primates, cows, pigs, horses, rabbits,  
 mice, rats, cats, and dogs. Moreover, the MSO analogs are ideally suited  
 for treating infections caused by the genus Mycobacterium. Addnl.,  
 methods for using the novel MSO analogs are also provided.  
 AN 2004:452975 CAPLUS <<LOGINID::20080909>>  
 DN 141:12262  
 TI Anti-microbial agents derived from methionine sulfoximine analogues and  
 use for treating mycobacterial infections  
 IN Harth, Gunter; Griffith, Owen W.; Horwitz, Marcus A.  
 PA Regents of the University of California, USA  
 SO PCT Int. Appl., 40 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004045539	A2	20040603	WO 2003-US36705	20031117
	WO 2004045539	A9	20040805		
	WO 2004045539	A3	20041111		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
	LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,				
	PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,				
	UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				
	IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
	AU 2003295579	A1	20040615	AU 2003-295579	20031117
	US 20040157802	A1	20040812	US 2003-715679	20031117
	US 20060142251	A1	20060629	US 2005-534660	20051128
PRAI	US 2002-426502P	P	20021115		
	US 2002-430407P	P	20021202		
	WO 2003-US36705	W	20031117		
OS	MARPAT 141:12262				

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN  
 TI Pyruvate analog adducts with NAD as lactate dehydrogenase inhibitors  
 AB Adducts of pyruvate and NAD<sup>+</sup> adducts are lactate dehydrogenase inhibitors that can pass through the blood-brain barrier and are of use in the treatment of primary systemic lactic acidosis are prepared and characterized. A series of Na arylidene pyruvates were prepared and the adducts with NAD<sup>+</sup> prepared by standard chemical. These were then tested for inhibition of beef heart and rat brain lactate dehydrogenases. An NAD-pyruvate reduced the activity of the beef heart enzyme to 90% of control values and reduced the activity of the rat brain enzyme to 48% of controls in the presence of 0.24 mM pyruvate. An aldehyde analog was similarly active in the nanomolar range. Inhibition of lactate dehydrogenase activity in synaptosomes was also demonstrated.

AN 1991:38443 CAPLUS <<LOGINID::20080909>>

DN 114:38443

OREF 114:6623a,6626a

TI Pyruvate analog adducts with NAD as lactate dehydrogenase inhibitors

IN Cooper, Arthur J. L.

PA Cornell Research Foundation, Inc., USA

SO U.S., 8 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 4950602	A	19900821	US 1987-16894	19870220
PRAI	US 1987-16894		19870220		
OS	MARPAT 114:38443				

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

TI Amino acid sulfoximines:  $\alpha$ -ethylmethionine sulfoximine

AB  $\alpha$ -Ethylmethionine sulfoxime, HO<sub>2</sub>CCEt(NH<sub>2</sub>)CH<sub>2</sub>CH<sub>2</sub>S(O)Me:NH, was prepared by treatment of HO<sub>2</sub>CCEt(NH<sub>2</sub>)CH<sub>2</sub>CH<sub>2</sub>SMe (I) with HCl. I was prepared by treatment of EtCOCH:CH<sub>2</sub> with MeSH to give EtCOCH<sub>2</sub>CH<sub>2</sub>SMe which was converted to a hydantoin derivative with (NH<sub>4</sub>)<sub>2</sub>CO<sub>3</sub> and NaCN and the product hydrolyzed to I.

AN 1988:132274 CAPLUS <<LOGINID::20080909>>

DN 108:132274

OREF 108:21719a,21722a

TI Amino acid sulfoximines:  $\alpha$ -ethylmethionine sulfoximine

AU Griffith, Owen W.

CS Med. Coll., Cornell Univ., New York, NY, 10021, USA

SO Methods in Enzymology (1987), 143(Sulfur Sulfur Amino Acids), 286-91

CODEN: MENZAU; ISSN: 0076-6879

DT Journal

LA English

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

TI Inhibition of glutathione biosynthesis by prothionine sulfoximine (S-n-propyl homocysteine sulfoximine), a selective inhibitor of  $\gamma$ -glutamylcysteine synthetase

AB DL-Prothionine SR-sulfoximine [70085-86-8] and  $\alpha$ -methyl-DL-prothionine-SR-sulfoximine [70056-05-2] were prepared and found to markedly inhibit  $\gamma$ -glutamylcysteine synthetase [9023-64-7] but to not significantly affect glutamine synthetase [9023-70-5]. After injection of prothionine sulfoximine into mice, the level of kidney glutathione [70-18-8] decreased rapidly to .apprx.20% of the control level indicating that a large fraction, rather than a small pool, of glutathione participates in rapid turnover. The rapid decline of the glutathione



level that occurs after inhibition of glutathione synthesis reflects the normal rate of intracellular glutathione utilization by the  $\gamma$ -glutamyl cycle. A number of related sulfoximines were synthesized and tested as inhibitors of glutamine and  $\gamma$ -glutamylcysteine synthetases.

AN 1979:198299 CAPLUS <<LOGINID::20080909>>

DN 90:198299

OREF 90:31455a,31458a

TI Inhibition of glutathione biosynthesis by prothionine sulfoximine (S-n-propyl homocysteine sulfoximine), a selective inhibitor of  $\gamma$ -glutamylcysteine synthetase

AU Griffith, Owen W.; Anderson, Mary E.; Meister, Alton

CS Med. Coll., Cornell Univ., New York, NY, USA

SO Journal of Biological Chemistry (1979), 254(4), 1205-10  
CODEN: JBCHA3; ISSN: 0021-9258

DT Journal

LA English

L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

TI Differential inhibition of glutamine and  $\gamma$ -glutamylcysteine synthetases by  $\alpha$ -alkyl analogs of methionine sulfoximine that induce convulsions

AB  $\alpha$ -Methyl-DL-methionine (SR)-sulfoximine [66735-67-9] and  $\alpha$ -ethyl-DL-methionine (SR)-sulfoximine [66735-68-0], like L-methionine (SR)-sulfoximine [15985-39-4], induced convulsions in mice and inhibited glutamine synthetase [9023-70-5] irreversibly;  $\alpha$ -ethylmethionine sulfoximine was .apprx.50% as inhibitory as methionine sulfoximine and  $\alpha$ -methylmethionine sulfoximine. However, whereas  $\alpha$ -methylmethionine sulfoximine and methionine sulfoximine inhibited  $\gamma$ -glutamylcysteine synthetase [9023-64-7] markedly,  $\alpha$ -ethylmethionine sulfoximine did not, nor did administration of the  $\alpha$ -Et analog produce the decrease in tissue glutathione [70-18-8] levels found after giving methionine sulfoximine or its  $\alpha$ -Me analog. The  $\alpha$ -alkyl methionine sulfoximine analogs cannot be catabolized via the corresponding  $\alpha$ -keto or  $\alpha$ -imino acids, and, like other  $\alpha$ -substituted amino acids, are probably not metabolized to a significant extent in vivo; this suggests that the amino acid sulfoximine mols. themselves, rather than their metabolites, are directly involved in the induction of convulsions. Possible explanations for the reported lack of correlation between the occurrence of convulsions and the levels of glutamine synthetase activity (and its substrates and product) are considered.

AN 1978:500916 CAPLUS <<LOGINID::20080909>>

DN 89:100916

OREF 89:15375a,15378a

TI Differential inhibition of glutamine and  $\gamma$ -glutamylcysteine synthetases by  $\alpha$ -alkyl analogs of methionine sulfoximine that induce convulsions

AU Griffith, Owen W.; Meister, Alton

CS Dep. Biochem., Cornell Univ. Med. Coll., New York, NY, USA

SO Journal of Biological Chemistry (1978), 253(7), 2333-8  
CODEN: JBCHA3; ISSN: 0021-9258

DT Journal

LA English

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

TI Sulfur-containing amino acids

GI For diagram(s), see printed CA Issue.

AB MeCH:CHCHO (140 g.) and 96 g. MeSH in the presence of 2 drops of piperidine stirred 0.5 hr. at 5-10° and 3 hrs. at room temperature, the mixture treated with an addnl. 28 g. MeSH, heated about 1 hr. at 90°,

diluted with 500 cc. Et<sub>2</sub>O, washed with dilute HCl and H<sub>2</sub>O, dried, and evaporated, and the residue distilled gave 201 g. MeSCHMeCH<sub>2</sub>CHO (I), b<sub>23</sub> 80°. AcCH:CH<sub>2</sub> (27 g.) and 18 g. MeSH yielded 35.4 g. Ac(CH<sub>2</sub>)<sub>2</sub>SMe, b<sub>55</sub> 106°, n<sub>D25</sub> 1.4711. I (48.5 g.), 113 g. (NH<sub>4</sub>)<sub>3</sub>SO<sub>3</sub>, 25.5 g. NaCN, 335 cc. EtOH, and 335 cc. H<sub>2</sub>O heated 5 hrs. with stirring at 55°, the mixture concentrated to about 300 cc., treated cautiously with 50 cc. concentrated

HCl, heated 7 min. at about 90°, refrigerated, and filtered, and the residue washed with 200 cc. H<sub>2</sub>O yielded 49 g. 5-(β-benzylmercapto)propylhydantoin, m. 117-18° (from EtOAc). Similarly were prepared the following compds. RR'C.CO.NH.CO.NH (R, R', m.p., and % yield given): MeS(CH<sub>2</sub>)<sub>2</sub>, Me, 109.5-10.5°, 93.8; MeSCHMeCH<sub>2</sub>, H, 191-2°, 50.1; MeSCHPhCH<sub>2</sub>, H, 173-4°, 491. S-Benzyl-4-methylhomocysteine (7.17 g.), m. 222.5-3.5° (decomposition) (from H<sub>2</sub>O) (obtained in 94% yield from the hydantoin) (0.69, 0.74, 0.93) (the figures given in parentheses through out this abstract represent the R<sub>f</sub> values of the resp. compds. obtained by ascending paper chromatography with BuOH-AcOH, lutidine-collidine, and PhOH-H<sub>2</sub>O, resp.) in 300 cc. liquid NH<sub>3</sub> treated with about 1.7 g. Na, the solution decolorized with about 1 g. NH<sub>4</sub>Cl, treated with 5 cc. MeI, and evaporated, the residue treated with 125 cc. H<sub>2</sub>O, washed with Et<sub>2</sub>O, filtered, neutralized with concentrated HCl to pH about 6, concentrated to about 50 cc., diluted with 50 cc. Me<sub>2</sub>CO, and refrigerated, and the crystalline deposit recrystd. from aqueous MeOH yielded

4.1

g. MeSCHMeCH<sub>2</sub>CH(NH<sub>2</sub>)CO<sub>2</sub>H (II), m. 236-7° (decomposition), (0.44, 0.53, 0.79). Similarly were prepared: MeS(CH<sub>2</sub>)<sub>2</sub>CMe(NH<sub>2</sub>)CO<sub>2</sub>H, 61%, m. 284-5° (decomposition) (from aqueous MeOH), (0.45, 0.50, 0.77); MeSCHPh(CH<sub>2</sub>)<sub>2</sub>CH(NH<sub>2</sub>)CO<sub>2</sub>H, 49.3%, m. 201-2° (decomposition) (from H<sub>2</sub>O). BzCH<sub>2</sub>SMe (21.8 g.) in 50 cc. dry Et<sub>2</sub>O added with stirring to 1.4 g. LiAlH<sub>4</sub> in 10 cc. dry Et<sub>2</sub>O, the mixture refluxed 1 hr. with stirring, cooled, and treated with stirring with 200 cc. ice water and 100 cc. 5N H<sub>2</sub>SO<sub>4</sub>, the aqueous layer washed with Et<sub>2</sub>O, the combined Et<sub>2</sub>O solns. washed, dried, and evaporated under a jet of dry air, and the residue distilled gave 18.4 g. MeSCH<sub>2</sub>CH(OH)Ph (III), b<sub>1.8</sub> 113-14.5°. III (170 mg.) treated with MeI yielded III. MeI, m. 134-5° (decomposition). III (15.8 g.) in 25 cc. dry CHCl<sub>3</sub> treated with cooling with 9.2 g. SOCl<sub>2</sub> in 15 cc. dry CHCl<sub>3</sub>, the mixture cooled 0.5 hr., kept at room temperature overnight and evaporated, the residue heated gently with 5 cc. dry CHCl<sub>3</sub> and 5 cc. SOCl<sub>2</sub>, and the mixture distilled gave 14.3 g. MeSCH<sub>2</sub>CHClPh (IV), b<sub>2.8</sub> 106-7°, n<sub>D25</sub> 1.5692. AcNHCH(CO<sub>2</sub>Et)<sub>2</sub> (11.6 g.) and 200 mg. KI added with stirring to 1.23 g. Na in 100 cc. absolute EtOH, the mixture treated with 10 g. IV in 1 portion, stirred 2 hrs. at room temperature, refluxed 5 hrs., and filtered hot, the residue washed with about 50 cc. hot EtOH, the combined alc. solns. evaporated to dryness in vacuo, the residual oil kept at room temperature overnight, and the crystalline material washed with dilute HCl and H<sub>2</sub>O and dried in vacuo over KOH pellets yielded 16 g. MeSCH<sub>2</sub>CHPhC(NHAc)(CO<sub>2</sub>Et)<sub>2</sub> (V), m. 95-6° (from Et<sub>2</sub>O-pentane). Crude V (14.4 g.), 40 cc. H<sub>2</sub>O, and 10 cc. concentrated

HCl

refluxed 6 hrs. with stirring, the mixture treated with 40 cc. H<sub>2</sub>O and 10 cc. concentrated HCl, refluxed 1.5 hrs. with stirring, cooled to room temperature, the solid refluxed 8 hrs. with stirring with 80 cc. glacial AcOH and 10 cc. concentrated HCl, treated with Norit, and filtered, the residue washed with

H<sub>2</sub>O,

the combined filtrates evaporated in vacuo, the residue (about 10 g.) triturated with 50 cc. Me<sub>2</sub>CO and filtered, and the residue washed with Me<sub>2</sub>CO and dried yielded 5 g. MeSCH<sub>2</sub>CHPhCH(NH<sub>2</sub>)CO<sub>2</sub>H.HCl (VI.HCl), m. 208-9° (decomposition); the Me<sub>2</sub>CO solns. combined and evaporated to dryness, the residue refluxed 6.5 hrs. with 25 cc. H<sub>2</sub>O, 25 cc. glacial AcOH, and 10 cc. concentrated HCl, the solution evaporated to dryness in vacuo, the residue

washed

with Me<sub>2</sub>CO and neutralized with AmNH<sub>2</sub>, and a 1-g. portion dissolved in 8 cc. H<sub>2</sub>O and neutralized with AmNH<sub>2</sub> to pH 6, diluted with 25 cc. Me<sub>2</sub>CO, and filtered, and the residue washed with 15 cc. Me<sub>2</sub>CO yielded 300 mg. VI; the filtrate diluted with Me<sub>2</sub>CO gave a 2nd crop, 350 mg. MeSH (14 g.) passed with stirring and cooling into 1.2 g. Na in 150 cc. absolute MeOH, the mixture treated with stirring and cooling with 50 g. Me-  
benzamidosenecioate, diluted with 200 cc. absolute MeOH and 200 cc. dry C<sub>6</sub>H<sub>6</sub>, stirred 1 hr. at room temperature, allowed to stand overnight, treated with

3.12

g. glacial AcOH, and evaporated to dryness in vacuo at room temperature, the residue

washed with warm dry C<sub>6</sub>H<sub>6</sub>, the C<sub>6</sub>H<sub>6</sub> evaporated, the residue (58 g.), 300 cc. 85% HCO<sub>2</sub>H, 300 cc. concentrated HCl, and 300 cc. H<sub>2</sub>O refluxed 6 hrs., the solution

concentrated to about 50 cc., washed with Et<sub>2</sub>O, neutralized with AmNH<sub>2</sub> to pH 6, diluted with 350 cc. Me<sub>2</sub>CO, and refrigerated 2 days, and the white crystals washed with 300 cc. Me<sub>2</sub>CO and 200 cc. Et<sub>2</sub>O yielded 16.8 g.

S-methylpenicillamine, m. 281-2° (0.38, 0.50, 0.80); it was also obtained in the same manner from 2-phenyl-4-isopropylidene-5-oxazolone and 30 g. MeSH. MeSH (16 g.) passed into 1.2 g. Na in 300 cc. absolute MeOH, the solution treated with cooling and stirring with 62.3 g. 2-phenyl-4-benzal-5-oxazolone in 500 cc. warm, dry C<sub>6</sub>H<sub>6</sub>, the mixture stirred about 1 hr., kept at room temperature, treated with 3.12 g. glacial AcOH, and evaporated to

dryness in

vacuo, the residue treated with 100 cc. warm C<sub>6</sub>H<sub>6</sub> and filtered, the filtrate diluted with 100 cc. warm C<sub>6</sub>H<sub>6</sub> and 500 cc. pentane, and chilled, and the deposit washed with 150 cc. pentane yielded 74 g.

PhCH(SMe)CH(NHBz)CO<sub>2</sub>Me (VII), m. 97-8.5° (from EtOAc-pentane).

Crude VII (32.9 g.) hydrolyzed with 150 cc. H<sub>2</sub>O, 150 cc. concentrated HCl, and 150 cc. 90% HCO<sub>2</sub>H, the solution concentrated in vacuo to near dryness, and the precipitate

washed with three 100-cc. portions H<sub>2</sub>O, dissolved in 75 cc. H<sub>2</sub>O, neutralized to pH 6 with AmNH<sub>2</sub>, and chilled yielded 12.5 g.

S-methyl-3-phenylcysteine, m. 178-9° (decomposition) (0.51, 0.65, 0.88).

The following sulfoxides were prepared by oxidation of the appropriate sulfides with H<sub>2</sub>O<sub>2</sub> by the method of Toennies and Kolb (C.A. 33, 5359.9) (% yield, m.p., and Rf values given): PhCH<sub>2</sub>S(O)CHMeCH<sub>2</sub>CH(NH<sub>2</sub>)CO<sub>2</sub>H, 64.7, 214-15° (decomposition) (from H<sub>2</sub>O), (0.45, 0.60, 0.92);

MeS(O)CH<sub>2</sub>CH<sub>2</sub>CMe(NH<sub>2</sub>)CO<sub>2</sub>H, 91.8, 239.5-40.5° (decomposition) (from aqueous MeOH), (0.14, 0.35, 0.77); MeS(O)CHMeCH<sub>2</sub>CH(NH<sub>2</sub>)CO<sub>2</sub>H (VIII), 84.4,

213.5-14.5° (from aqueous MeOH), (0.13, 0.40, 0.80);

MeS(O)CH<sub>2</sub>CHPhCH(NH<sub>2</sub>)CO<sub>2</sub>H, 74.4, 205-6° (decomposition) (from aqueous MeOH), (0.33, 0.59, 0.87); MeS(O)CHPhCH<sub>2</sub>CH(NH<sub>2</sub>)CO<sub>2</sub>H, 87.7, 189-90°

(decomposition) (from aqueous MeOH), (0.33, 0.47, 0.85);

Me<sub>2</sub>CHCH[S(O)Me]CH(NH<sub>2</sub>)CO<sub>2</sub>H, 77.7, 166-7° (from aqueous MeOH), (0.14,

0.40, 0.76); PhCH[S(O)Me]CH(NH<sub>2</sub>)CO<sub>2</sub>H, 73.2, 147-8° (decomposition) (from aqueous MeOH), (0.29, 0.54, 0.82). VIII (600 mg.), 3 cc. H<sub>2</sub>O, 2 cc. MeOH, 0.2 cc. concentrated HCl, and 2 cc. 30% H<sub>2</sub>O<sub>2</sub> refluxed 2 hrs., treated with 1 cc.

30%

H<sub>2</sub>O<sub>2</sub>, refluxed again 2 hrs., neutralized with AmNH<sub>2</sub> to pH 6.5, diluted with 100 cc. Me<sub>2</sub>CO and filtered, and the residue washed with 50 cc. Me<sub>2</sub>CO yielded 550 mg. MeS(O<sub>2</sub>)CHMeCH<sub>2</sub>CH(NH<sub>2</sub>)CO<sub>2</sub>H, m. 230-1° (decomposition)

(from aqueous MeOH), (0.14, 0.50, 0.72). In the same manner was prepared PhCH<sub>2</sub>S(O<sub>2</sub>)CH<sub>2</sub>CH<sub>2</sub>CH(NH<sub>2</sub>)CO<sub>2</sub>H, 70.6%, m. 229-30° (decomposition) (from

H<sub>2</sub>O), (0.50, 0.65, 0.84). The following sulfones were prepared by the oxidation on the appropriate sulfides with H<sub>2</sub>O<sub>2</sub> in the presence of NH<sub>4</sub> molybdate and HClO<sub>4</sub> by the method of Toennies and Kolb (C.A. 35, 6571.1) (% yield, m.p., and Rf values given): MeS(O<sub>2</sub>)CH<sub>2</sub>CH<sub>2</sub>CMe(NH<sub>2</sub>)CO<sub>2</sub>H, 73.6,

288-9° (decomposition) (from aqueous MeOH), (0.16, 0.45, 0.65);

MeS(O<sub>2</sub>)CH<sub>2</sub>CHPhCH(NH<sub>2</sub>)CO<sub>2</sub>H (IX), 50.8, 222-3° (decomposition) (from H<sub>2</sub>O), (0.32, 0.61, 0.79); MeS(O<sub>2</sub>)CHPhCH<sub>2</sub>CH(NH<sub>2</sub>)CO<sub>2</sub>H (X), 95.4, 196.5-7.5°

(decomposition), (0.37, 0.55, 0.79); Me<sub>2</sub>CHCH[S(O<sub>2</sub>)Me]CH(NH<sub>2</sub>)CO<sub>2</sub>H, 77.7, 166-7° (from aqueous MeOH), (0.14, 0.53, 0.68); MeS(O<sub>2</sub>)CHPhCH(NH<sub>2</sub>)CO<sub>2</sub>H, 51.2, 141-2° (decomposition) (from aqueous MeOH), (0.30, 0.52, 0.70). VIII (6.0 g.) treated dropwise with stirring at 3° with 10.4 cc. concentrated H<sub>2</sub>SO<sub>4</sub>, the mixture heated with stirring to 45°, treated during 1 hr. at 48° with 54 cc. 1.4N HN<sub>3</sub> in CHCl<sub>3</sub>, then heated with stirring 5 hrs. at 48°, treated with 13.5 cc. HN<sub>3</sub> solution, heated 5 hrs. with stirring at 50°, stirred overnight at room temperature, poured with stirring onto 75 g. crushed ice, neutralized with solid Ba(OH)<sub>2</sub> to about pH 2.5 then to pH 5 with solid BaCO<sub>3</sub>, and centrifuged, the supernatant decanted, the residue mixed with H<sub>2</sub>O, centrifuged, and decanted, this operation repeated until free of amino acid, the combined aqueous solns. concentrated in vacuo at 50° to about 100 cc., treated with C, and filtered, and the filtrate concentrated to about 40 cc., filtered, and evaporated to dryness yielded 6.4 g. MeS(:NH)CHMeCH<sub>2</sub>CH(NH<sub>2</sub>)CO<sub>2</sub>H, m. 199-200° (decomposition) (from aqueous MeOH), (0.08, 0.38, 0.71). In the same manner was prepared: MeS(:NH)CH<sub>2</sub>CH<sub>2</sub>CHMe(NH<sub>2</sub>)CO<sub>2</sub>H, 100, 199-200° (decomposition) (from aqueous MeOH), (0.10, 0.35, 0.67). IX (100 mg.) treated with about 60 mg. N-bromosuccinimide gave MeS(O<sub>2</sub>)CH<sub>2</sub>CHPhCHO, isolated as the 2,4-dinitrophenylhydrazone, m. 188-9° (decomposition). X gave similarly MeS(O<sub>2</sub>)CHPhCH<sub>2</sub>CHO, isolated as the 2,4-dinitrophenylhydrazone, decomposed at 196-8° with a change from yellow to red at 169°. Only 4 of the amino acids suppressed the multiplication of T2 bacteriophage of Escherichia coli strain A.T.C.C. number 11303 at pH 7 and 37° at 100 p.p.m. or less.

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